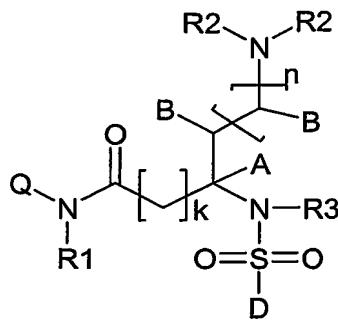


Claims

1. The use of a compound of Formula I,



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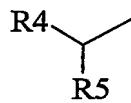
(I)

wherein

Q is

- 1) H,
- 2) aryl,
- 3) heteroaryl or
- 4) a group of formula

10



;

15 wherein aryl and heteroaryl is unsubstituted or substituted with 1 to 4 substituents selected from R^a;

A is

- 1) H,
- 2) (C₁-C₆)alkyl or
- 3) (C₃-C₅)cycloalkyl;

20 B is independently selected from

- 1) H,
- 2) halogen or
- 3) (C₁-C₆)alkyl;

25 or symbols B together may form a double or triple bond between the atoms to which they are attached;

D is aryl or heteroaryl, which may be unsubstituted or substituted with one to four groups selected from R^d;

R1 is

- 1) H,
- 2) (C₁-C₆)alkyl or
- 3) (C₃-C₇)cycloalkyl;

R2 is independently selected from

5 1) H,
 2) (C₁-C₆)alkyl,
 3) (C₂-C₆)alkenyl,
 4) (C₂-C₆)alkynyl,
 5) (C₃-C₇)cycloalkyl,
10 6) (C₃-C₇)cycloalkyl(C₁-C₆)alkyl,
 7) -NH₂ or
 8) -C(=NR^b)NR^bR^b;

wherein symbols R^b together with the atoms to which they are attached may also form a 5 to 6 membered unsaturated or saturated ring; or R2 and R2 together with the nitrogen to which they are attached may form a 5 to 7 membered ring containing 1 to 3 heteroatoms selected from N, O and S, wherein the formed ring may be saturated or unsaturated;

R3 is

20 1) H,
 2) (C₁-C₆)alkyl,
 3) (C₂-C₆)alkenyl,
 4) (C₂-C₆)alkynyl or
 5) (C₃-C₇)cycloalkyl;

R4 is

25 1) H,
 2) (C₁-C₆)alkyl,
 3) (C₂-C₆)alkenyl,
 4) (C₂-C₆)alkynyl,
 5) Cy,
30 6) Cy-(C₁-C₆)alkyl,
 7) Cy-(C₂-C₆)alkenyl or
 8) Cy-(C₂-C₆)alkynyl;

wherein alkyl, alkenyl, alkynyl and Cy are each optionally substituted with one to two substituents selected from R^d;

35 R5 is
 1) H,

2) (C_1-C_6) alkyl,
3) (C_2-C_6) alkenyl,
4) (C_2-C_6) alkynyl,
5) aryl,
5 6) aryl- (C_1-C_6) alkyl,
7) heteroaryl,
8) heteroaryl- (C_1-C_6) alkyl or
9) $-(CH_2)_kC(O)NHR^b$;
wherein aryl and heteroaryl are each optionally substituted with one
10 to two substituents selected from R^d ; or
R4 and R5 together with the atom to which they are attached form a
3 to 7 membered ring containing 0 to 2 heteroatoms selected from N, O and S,
wherein the said ring can be substituted with one to three substituents selected
from R^d ; or the said ring can be fused to aryl or heteroaryl which may be sub-
15 stituted with one to three substituents selected from R^d ;
 R^a is independently
1) H,
2) Halogen,
3) $-OR^b$,
20 4) (C_1-C_6) alkyl or
5) $-CF_3$;
 R^b is independently
1) hydrogen,
2) (C_1-C_6) alkyl,
25 3) (C_2-C_6) alkenyl,
4) (C_2-C_6) alkynyl,
5) Cy or
6) Cy- (C_1-C_4) alkyl;
 R^d is independently
30 1) a group selected from R^c ,
2) (C_1-C_6) alkyl,
3) (C_2-C_6) alkenyl,
4) (C_2-C_6) alkynyl,
5)-aryl,
35 6) aryl- (C_1-C_6) alkyl,
7)-heteroaryl- (C_1-C_6) alkyl,

8) (C₃-C₇)cycloalkyl or

9) heterocyclyl;

wherein alkyl, alkenyl, alkynyl, aryl and heteroaryl are each optionally substituted with one to four substituents independently selected from R^c;

5 **R^c is independently**

1) a group selected from R^a ,

2) $-\text{NO}_2$,

3) $-\text{SR}^b$,

4) $-NR^bR^b$,

10 5) -CN or

6) $-\text{NR}^b\text{C}(\text{O})\text{R}^b$:

k is an integer 0 or 1;

n is an integer from 0 to 3; and

Cy is cycloalkyl, heterocyclyl, aryl or heteroaryl;

15 or of a pharmaceutically acceptable salt or ester thereof, for the preparation of a medicament for treating a disease or condition in mammals where an interaction with somatostatin receptor subtypes 1 and/or 4 is indicated to be useful.

2. The use according to claim 1, where the compound is an agonist.

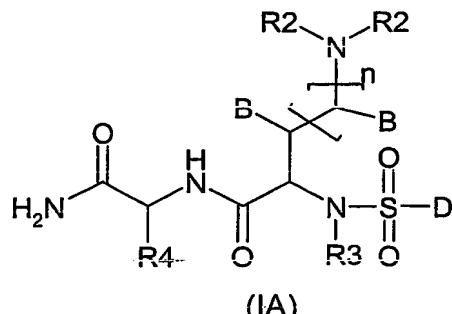
20 3. The use according to claim 1, where the compound is an antagonist.

4. The use according to claim 1, where the compound is SSTR1 selective.

5. The use according to claim 1, where the compound is SSTR4 se-

25 lective.

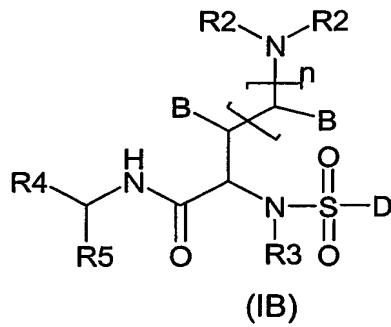
6. The use according to claim 1, wherein the compound of Formula I is a compound of Formula IA



or pharmaceutically acceptable salt or ester thereof,
wherein R₂, R₃, B and D are as defined in claim 1; R₄ is benzyl
which can be optionally substituted with one to two substituents selected from
R^a as defined in claim 1; and

5 n is an integer 1 or 2.

7. The use according to claim 1, wherein the compound of Formula I
is a compound of Formula IB



10

(IB)

or pharmaceutically acceptable salt or ester thereof,
wherein R₂, R₃, B and D are as defined in claim 1;
R₄ is phenyl or benzyl, which is unsubstituted or substituted with 1

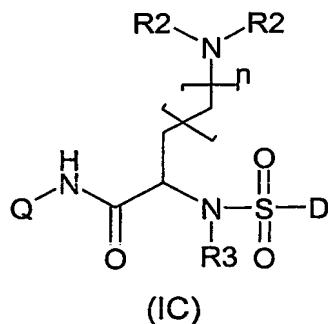
15 to 2 substituents selected from R^a as defined in claim 1;

R₅ is hydrogen or (C₁-C₆)alkyl; and

n is an integer 1 or 2.

8. The use according to claim 1, wherein the compound of Formula I
is a compound of Formula IC

20

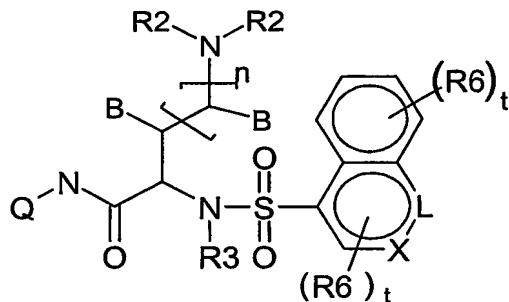


25

or pharmaceutically acceptable salt or ester thereof,
wherein R₃, Q and D are as defined in claim 1;
R₂ is independently selected from

1) H,
 2) (C₁-C₃)alkyl,
 3) (C₁-C₃)cycloalkyl or
 4) -C(=NH)NH₂; and
 5 n is an integer 1 or 2.

9. The use according to claim 1, wherein the compound of Formula I is a compound of Formula ID



10 (ID)

or pharmaceutically acceptable salt or ester thereof,
 wherein R₂, R₃, B and Q are as defined in claim 1; and
 R₆ is independently

15 1) H,
 2) halogen,
 3) -NO₂,
 4) -NR^bR^b,
 5) -CN,
 20 6) -OR^b,
 7) -SR^b,
 8) -C(O)R^b,
 9) (C₁-C₆)alkyl,
 10) (C₂-C₆)alkenyl,
 25 11) (C₂-C₆)alkynyl,
 12) (C₃-C₇)cycloalkyl or
 13) -CF₃;

R^b is as defined in claim 1;

L is C(R₆), S or N;

30 n is an integer 1 or 2;
 t is an integer from 0 to 3; and

X is a bond or C(R6).

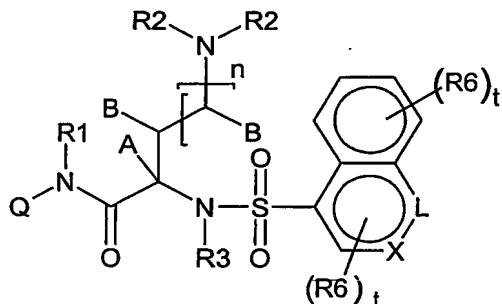
10. The use according to any of claims 1, 6, 7, 8 or 9, wherein R3 is H or methyl.

11. The use according to any of claims 1, 6, 7, 8 or 9, wherein D is 5 naphthyl, 4-alkyl-1-naphthyl, benzothiophenyl or indolyl.

12. The use according to any of claims 1-11, wherein the compound is 4-amino-(S)-2-N-(4-methyl-1-naphthalenesulfonyl)amino-N'-(1,2,3,4-tetrahydro-1-naphthyl)butanamide, 5-amino-(S)-2-N-(4-methyl-1-naphthalenesulfonyl)amino-N'-(1,2,3,4-tetrahydro-1-naphthyl)pentanamide, N-benzyl-4-10 guanidino-(S)-2-(N'-(4-methyl-1-naphthalenesulfonyl)amino)butanamide, 4-amino-N-2-(3-chlorophenyl)ethyl-(S)-2-(N'-(4-methyl-1-naphthalenesulfonyl)-15 amino)butanamide, 5-N-methylamino-(S)-2-N'-(4-methyl-1-naphthalenesulfonyl)amino-N''-(1,2,3,4-tetrahydro-1-naphthyl)pentanamide or N-benzyl-4-(N'-isopropyl)amino-(S)-2-(N'-(4-methyl-1-naphthalenesulfonyl)amino)butanamide.

13. The use according to claim 1 where the disease or condition is depression, anxiety, bipolar disorders, AHDH, angiogenesis, restenosis, new blood vessel sprouting, arteriosclerosis, diabetic angiopathy, diabetic retinopathy, cancerous tumors and tumor metastasis, high introcular pressure or age-20 related macular degeneration.

14. A compound of Formula II



(II)

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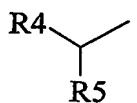
or a pharmaceutically acceptable salt or ester thereof,
wherein R1, R3, A, B and Q are as defined in claim 1; and
R2 is independently

30 1) H,
2) (C₁-C₆)alkyl,

- 3) (C₂-C₆)alkenyl,
- 4) (C₂-C₆)alkynyl,
- 5) (C₃-C₇)cycloalkyl or
- 6) (C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

5 or symbols R₂ together with the nitrogen to which they are attached form a saturated 5 to 7 membered ring containing 1 to 2 heteroatoms selected from N, O and S;

and when Q is a group of formula



then R⁴ is as defined in claim 1;

R⁵ is

- 1) H,
- 2) (C₁-C₆)alkyl,
- 3) (C₂-C₆)alkenyl,
- 4) (C₂-C₆)alkynyl,
- 5) aryl,
- 6) aryl-(C₁-C₆)alkyl,
- 7) heteroaryl or
- 8) heteroaryl-(C₁-C₆)alkyl;

20 wherein aryl and heteroaryl are each optionally substituted with one to four substituents selected from R^d as defined in claim 1; or

25 R⁴ and R⁵ together with the atom to which they are attached form a 3 to 8 membered ring containing 0 to 2 heteroatoms selected from N, O and S, wherein the said ring may be substituted with one to three substituents selected from R^d; or the said ring may be fused to aryl or heteroaryl which can be substituted with one to three substituents selected from R^d;

30 R⁶ is independently

- 1) H,
- 2) halogen,
- 3) -NO₂,
- 4) -NR^bR^b,
- 5) -CN,
- 6) -OR^b,
- 7) -SR^b,

8) $-\text{C}(\text{O})\text{R}^b$,
 9) $(\text{C}_1\text{-C}_6)$ alkyl,
 10) $(\text{C}_2\text{-C}_6)$ alkenyl,
 11) $(\text{C}_2\text{-C}_6)$ alkynyl,
 5 12) $(\text{C}_3\text{-C}_7)$ cycloalkyl or
 13) $-\text{CF}_3$;

t is an integer from 0 to 3;

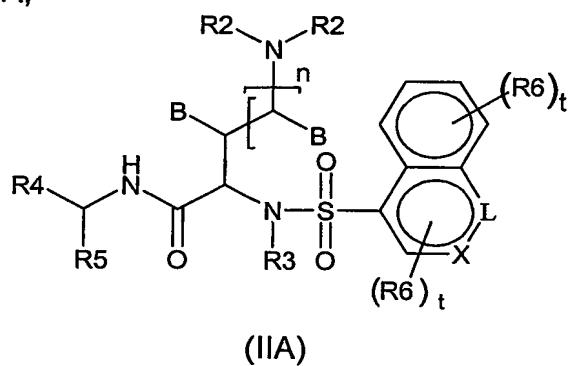
n is an integer 1 or 2;

X is a bond or $\text{C}(\text{R}6)$;

10 L is $\text{C}(\text{R}6)$, S or N.

15. A compound according to claim 14, which is a compound of

Formula IIA,



15

wherein R2, R3, B, L, X, n and t are as defined in claim 14;

R4 is phenyl or benzyl;

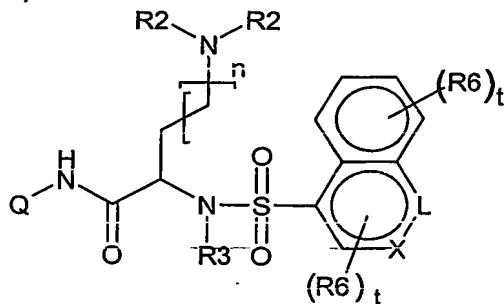
which is unsubstituted or substituted with 1 to 2 substituents selected from R^a as defined in claim 1;

20 R5 is H or $(\text{C}_1\text{-C}_6)$ alkyl; and

R6 is independently selected from H, halogen or $(\text{C}_1\text{-C}_6)$ alkyl.

16. A compound according to claim 14, which is a compound of

Formula IIB,



25

(IIB)

wherein R3, L, X, R6, Q, n and t are as defined in claim 14; and R2 is independently selected from H, methyl, ethyl, isopropyl, cyclopropyl or cyclohexyl.

5 17. A compound according to any of claims 14 to 16, wherein R3 is H or methyl.

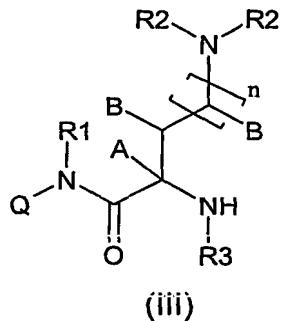
18. A compound according to any of claims 14 to 16, wherein L is C(R6), X is a bond or C(R6) and R6 is H.

10 19. A compound according to any of claims 14 to 17, wherein L and X is C(R6) and R6 is independently selected from H, (C₁-C₆)alkyl or halogen.

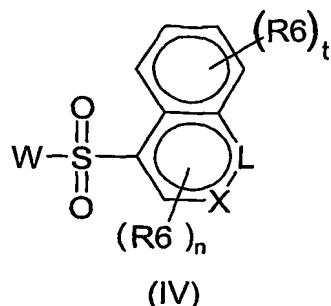
20. A compound according to any of claims 14 to 16, wherein L is N or S and X is a bond.

21. A compound of Formula II according to any of claims 14 to 17 and 19, wherein the compound is 4-amino-(S)-2-N-(4-methyl-1-naphthalenesulfonyl)amino-N'-(1,2,3,4-tetrahydro-1-naphthyl)butanamide, 5-amino-(S)-2-N-(4-methyl-1-naphthalenesulfonyl)amino-N'-(1,2,3,4-tetrahydro-1-naphthyl)pentanamide, 4-amino-N-2-(3-chlorophenyl)ethyl-(S)-2-(N'-(4-methyl-1-naphthalenesulfonyl)amino)butanamide, 5-N-methylamino-(S)-2-N'-(4-methyl-1-naphthalenesulfonyl)amino-N''-(1,2,3,4-tetrahydro-1-naphthyl)-20 pentanamide or N-benzyl-4-(N'-isopropyl)amino-(S)-2-(N''-(4-methyl-1-naphthalenesulfonyl)amino)butanamide.

22. A process for preparing a compound as claimed in any of claims 14 to 21, comprising reacting an amidated amino acid of Formula III,



wherein R1, A, B, Q and n are as defined in any one of claims 14 to 21; R2 is independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl or a protecting group; R3 is H, alkyl, cycloalkyl or a protecting group, with a sulfonyl acid derivative of Formula IV,



5 wherein R6, L, X, n and t are as defined in any one of the claims 14 to 21; W is OH or a halogen, especially Cl or Br, and where the compounds of Formula III and IV being optionally protected.

10 23. A pharmaceutical composition compromising a compound of Formula II according to claim 14 as an active ingredient together with a pharmaceutically acceptable diluent, carrier and/or excipient.

15 24. The use of a compound of Formula II according to claim 14 for the imaging of healthy or diseased tissues and/or organs, such as brain, blood vessels or tumors, possessing SSTR1 and/or SSTR4 receptors.

20 25. The use of a compound of Formula II according to claim 14 for the preparation of a medicament for treating a disease or condition in mammals where an interaction with somatostatin receptor subtypes 1 and/or 4 is indicated to be useful.

25 26. The use according to claim 25, where the compound is an agonist.

30 27. The use according to claim 25, where the compound is an antagonist.

35 28. The use according to claim 25, where the compound is SSTR1 selective.

40 29. The use according to claim 25, where the compound is SSTR4 selective.

45 30. The use according to claim 25, where the disease or condition is depression, anxiety, bipolar disorders, AHDH, angiogenesis, restenosis, new blood vessel sprouting, arteriosclerosis, diabetic angiopathy, diabetic retinopathy, cancerous tumors and tumor metastasis, high introcular pressure or age-related macular degeneration.